



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称:

**N-[4-[5-(1,3-BENZODIOXOL-5-YL)-3-METHOXY-1H-1,2,4-TRIAZOL-1-YL]PHENYL]-2-(PHENYLTHIO)ACETAMIDE**

产品别名: **SecinH3**

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                     |           |            |            |
|---------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------|-----------|------------|------------|
| 生物活性:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                     |           |            |            |
| Description               | SecinH3 is an antagonist of cytohesins with IC <sub>50</sub> s of 5.4 μM, 2.4 μM, 5.4 μM, 5.6 μM, 5.6 μM and 65 μM for hCyh1, hCyh2, mCyh3, hCyh3, drosophila steppke and yGea2-S7, respectively.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                                                                                                     |           |            |            |
| IC <sub>50</sub> & Target | IC50: 5.4 μM (hCyh1), 2.4 μM (hCyh2), 5.4 μM (mCyh3), 5.6 μM (hCyh3), 5.6 μM (drosophila steppke), 65 μM (yGea2-S7)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                                                                                                                                     |           |            |            |
| In Vitro                  | SecinH3 is a Sec7-specific guanine nucleotide exchange factor (GEF) inhibitor with preference for the small GEFs of the cytohesin family. SecinH3 almost completely blocks the insulin-dependent transcriptional repression of <i>IGFBP1</i> with an IC <sub>50</sub> of 2.2 μM. Insulin-stimulated translocation of ARF6 to the plasma membrane is also inhibited by SecinH3. It is found that SecinH3 inhibits the insulin-dependent phosphorylation of Akt and FoxO1A in a concentration-dependent manner. Insulin-induced exclusion of FoxO1A from the nucleus is completely prevented by SecinH3. The binding of IRS1 to the insulin receptor is also inhibited by SecinH3[1].                                                                                                  |                                                                                                                                     |           |            |            |
| In Vivo                   | Compare to mice fed the same chow without SecinH3, the expression levels of the insulin-repressed gluconeogenic genes are elevated, whereas the insulin-induced glycolytic genes are reduced in SecinH3-treated mice. Insulin-stimulated Akt phosphorylation is also inhibited in SecinH3-treated mice. The expression of the genes for two key enzymes of mitochondrial β-oxidation, carnitine palmitoyltransferase 1a (Cpt1a) and hydroxyacyl-CoA dehydrogenase (Hadha), both of which are repressed by insulin, is increased in the SecinH3-treated mice. It is found significantly increased levels of serum insulin with slightly elevated glucose concentrations in SecinH3-treated mice. Accordingly, 3-hydroxybutyrate is increased in the serum of SecinH3-treated mice[1]. |                                                                                                                                     |           |            |            |
| Solvent&Solubility        | <b>In Vitro:</b><br><b>DMSO : 100 mg/mL (217.15 mM; Need ultrasonic and warming)</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                                                                     |           |            |            |
|                           | Preparing<br><br>Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | <div><div>Solvent</div><div>Concentration</div><div>Mass</div></div>                                                                | 1 mg      | 5 mg       | 10 mg      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 1 mM                                                                                                                                | 2.1715 mL | 10.8575 mL | 21.7151 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 5 mM                                                                                                                                | 0.4343 mL | 2.1715 mL  | 4.3430 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 10 mM                                                                                                                               | 0.2172 mL | 1.0858 mL  | 2.1715 mL  |
|                           | <div>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</div> <div>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                                                                                                                     |           |            |            |
|                           | References                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | [1]. Hafner M, et al. Inhibition of cytohesins by SecinH3 leads to hepatic insulin resistance. Nature. 2006 Dec 14;444(7121):941-4. |           |            |            |
| 实验参考:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 10 <sup>5</sup> HepG2 cells are seeded in 12 well plates and cultured for 24 h in EMEM containing 10 % FCS.                         |           |            |            |



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

|                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |
|------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Cell Assay</b>            | Cells are then serum starved in EMEM for 24 h and stimulated for 12 h with 10 nM insulin in the presence of SecinH3, the negative control D5 or vehicle (0.2% final concentration of DMSO). Total mRNA is prepared using Kit and cDNA for qPCR is generated from 1 µg RNA. qPCR is performed and data are normalized to $\beta_2$ -microglobulin expression[1].                                                                                                                                                                                                                                                                      |
| <b>Animal Administration</b> | C57/Bl6N mice are kept on a 12 h light/dark cycle in a pathogen-free animal facility and fed ad libitum with standard mice diet. After feeding with standard diet or with the same diet containing 0.9 µmol/g SecinH3 for 3 days, mice are intraperitoneally injected with 100 µL saline containing or not 40 µg recombinant human insulin. After 10 min the mice are anaesthetized and the liver is removed and lysed in lysis buffer. Normalized amounts of protein are either separated by SDS-PAGE and transferred onto nitrocellulose, or immunoprecipitated using agarose-conjugated antibodies against IR $\beta$ or IRS1[1]. |
| <b>References</b>            | [1]. Hafner M, et al. Inhibition of cytohesins by SecinH3 leads to hepatic insulin resistance. Nature. 2006 Dec 14;444(7121):941-4.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |

源叶生物